10/716,175

STN-STRUCTURE SERVEY
9.27.09

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ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

2004:453172 CAPLUS

TITLE:

141:23305 Preparation of substituted aryl thioureas as

inhibitors of viral replication

INVENTOR(S):

Chen, Dawei; Deshpande, Milind; Thurkauf, Andrew; Phadke, Avinash; Wang, Xiangzhu; Shen, Yiping; Liu, Cuixian; Quinn, Jesse; Ohkanda, Junko; Li, Shouming

PATENT ASSIGNEE(S):

Achillion Pharmaceuticals, Inc., USA

SOURCE:

GΙ

PCT Int. Appl., 218 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

,	. PATENT NO.				KIND DATE		APPLICATION NO.				DATE							
1	WO 2004046095				A1 20040603			WO 2003-US36809				20031118						
	W :		AG,															
		CO,	CR,	CU,	CZ,	.DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			HR,															
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
		PG,	PΗ,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,	
			TT,															
		KG,	KZ,	MD,	RU												•	
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	
			CH,															
		MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	
			G₩,														The same of the sa	
US 2004138205				A1 20040715 (US 2003-716175 20031						0031	118	\						
PRIORITY APPLN. INFO.:				US 2002-427634P P 20021119										/				
OTHER SOURCE(S):				MARPAT 141:23305 \									•					
CI																		

$$A^{1}$$
 X Y Z N N V W A^{2}

AB The title compds. [I; Al = (un) substituted aryl, 5-6 membered heteroaryl; etc.; A2 = (un) substituted Ph, 2-pyridyl, 5-pyrimidinyl, etc.; X, W = 0, S, NR, absent (wherein R = H, alkyl, arylalkyl); V = alkyl, alkenyl, cycloalkyl, absent; Y = alkyl, cycloalkylalkyl, alkenyl, etc.; when V is absent, W is absent; Z = carbonyl, thiocarbonyl, imino, alkylimino; R1, R2= substituted alkyl, alkenyl, alkynyl; or R1 and R2 are joined to form

ΙI

10/716,175

(9CI) (CA INDEX NAME)

RN 698990-35-1 CAPLUS

CN Benzamide, 4-(difluoromethoxy)-N-[[(3-phenoxyphenyl)amino]thioxomethyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} S & O \\ \hline \\ PhO \end{array}$$

RN 698990-59-9 CAPLUS

CN Benzamide, 4-methoxy-N-[[(3-phenoxyphenyl)amino]thioxomethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 698990-74-8 CAPLUS

CN Benzamide, 4-methyl-N-[[[3-(phenylmethoxy)phenyl]amino]thioxomethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 698990-79-3 CAPLUS

CN Benzamide, 4-methyl-N-[[(3-phenoxyphenyl)amino]thioxomethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1981:407266 CAPLUS

DOCUMENT NUMBER:

95:7266

TITLE:

2-Oxo-benzothiazoline, benzoxazoline or indoline

derivatives and pharmaceutical

compositions comprising them

INVENTOR(S):

Ueda, Ikuo; Matsuo, Masaaki; Satoh, Susumu; Watanabe,

Takao

PATENT ASSIGNEE(S):

Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE:

Eur. Pat. Appl., 53 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
	EP 22317	A1	19810114	EP 1980-301973	19800611	
	EP 22317	B1	19830921			
	R: AT, BE, CH,	DE, FR	, GB, IT, NL	, SE		
	JP 55167282	A2	19801226	JP 1979-74239	19790612	
	US 4370340	A	19830125	US 1980-155185	19800602	
	AT 4713	E	19831015	AT 1980-301973	19800611	
	JP 56097268	A2-	19810805	JP 1980-79645	. 19800612	
	JP 01014223	B4	19890310			
	US 4438126	Α	19840320	US 1982-409089	19820818	
PRIC	RITY APPLN. INFO.:			JP 1979-74239	19790612``	
				GB 1979-44556	19791228	
				US 1980-155185	19800602	
				EP 1980-301973	19800611	
OTHE	R SOLIBOR(S).	CACDEA	CT 05.7266			

OTHER SOURCE(S):

CASREACT 95:7266

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The title compds. I (X = O, S, CH2; X1 = alkylene; R = optionallyAΒ protected carboxy; R1 = OH, halogen, NO2, NH2, cycloalkyl, aryl, aryloxy; R2 = H, halogen, alkyl) were prepared Thus 3,4-Cl(PhO)C6H3NH2 was treated with BzNCS to give 3,4-Cl(PhO)C6H3NHCSNHBz which was debenzoylated and cyclized with Br to give II (R3 = NH2). Diazotization of II (R3 = NH2) and bromination gave II (R3 = Br) which was hydrolyzed to II (R3 = OH). Treatment of II (R3 = OH) with BrCH2CO2Et gave I (X = S, X1 = CH2, R =CO2Et, R1 = 6-PhO, R2 = 5-Cl) which was hydrolyzed to acid. The latter compound had an aldose reductase-inhibiting ED50 of 5 + 10-8M.

IT76838-41-0P 76839-52-6P 77859-27-9P 77859-29-1P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and debenzoylation of)

RN76838-41-0 CAPLUS

Benzamide, N-[[(4-phenoxyphenyl)amino]thioxomethyl]- (9CI) (CA INDEX CNNAME)

RN 76839-52-6 CAPLUS

CN Benzamide, N-[[(2-phenoxyphenyl)amino]thioxomethyl]- (9CI) (CA INDEX NAME)

RN 77859-27-9 CAPLUS

CN Benzamide, N-[[(3-chloro-4-phenoxyphenyl)amino]thioxomethyl]- (9CI) (CA INDEX NAME)

RN 77859-29-1 CAPLUS

CN Benzamide, N-[[[4-(2-chlorophenoxy)phenyl]amino]thioxomethyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1981:139807 CAPLUS

DOCUMENT NUMBER:

94:139807

TITLE:

2-Imidazoline derivatives and pharmaceutical

compositions containing them

INVENTOR(S):

Ueda, Ukuo; Matsuo, Masaaki; Taniguchi, Kiyoshi;

Katsura, Yousuke

PATENT ASSIGNEE(S):

Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 68 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

EP	17484			A1	19801015	EP	1980-301061	19800402
EP	17484			B1	19830406			
	R: AT,	BE,	CH,	DE,	FR, GB, IT,	NL, SI	∃	
ZA	8001680			Α	19810325	ZA	1980-1680	19800321
CA	1138451			Al	19821228	CA	1980-348207	19800321
AU	8056892			A1	19801009	AU	1980-56892	19800327
AU	535979			B2	19840412			
DK	8001424			Α	19801004	DK	1980-1424	19800401
JР	55136266			A2	19801023	JP	1980-43398	19800402
JP	02010830			B4	19900309			
ES	490292			A1	19810216	ES	1980-490292	19800402
AT	2953			\mathbf{E}	19830415	AT	1980-301061	19800402
HU	27686			0.	19831028	HU	1980-793	19800402
HU	184259			В	19840730			
PRIORITY	APPLN.	INFO	. :		•	GB	1979-11537	19790403
						EP	1980-301061	19800402
GI								

Anilinoimidazolines I (R = substituted aryl; R1, R2 = H, halogen, alkyl, alkoxy, alkanesulfonamido, haloalkyl, carbamoyl, NO2, amino, cyano, SO2NH2; X = O, S, CH2, bond) were prepared by treating II, (R3 = H) with BzSCN, debenzoylating the II (R3 = CSNHBz), S-methylating II (R3 = CSNH2), and cyclizing II [R3 = C(SMe):NH] with H2NCH2CH2NH2. I (RX = 2-PhO, R1 = S-Cl, R2 = H) caused 57% decrease in blood pressure at 10 mg/kg in rats. I (RX = 2-PhO, R1 = 4-Me, R2 = H) caused 48.4% inhibition carrageenin-induced edema at 100 mg/kg orally in rats. I (RX = 3-MeO, R1 = 4-MeO, R2 = 5-MeO) had an analgesic ED50 of 50.1 mg/kg orally in the HOAc writhing test. I (RX = 2-Ph, R1 = R2 = H) caused 73.2% decrease in gastric acid secretion at 1 mg/kg i.v. in dogs.

TT 76838-12-5P 76838-13-6P 76838-14-7P 76838-15-8P 76838-16-9P 76838-17-0P 76838-18-1P 76838-19-2P 76838-20-5P 76838-21-6P 76838-22-7P 76838-23-8P 76838-24-9P 76838-25-0P 76838-26-1P 76838-27-2P 76838-28-3P 76838-29-4P 76838-30-7P 76838-31-8P 76838-32-9P 76838-33-0P 76838-34-1P 76838-35-2P 76838-36-3P 76838-37-4P 76838-35-2P 76838-36-3P 76838-47-6P 76838-51-2P 76838-42-1P 76838-59-0P 76838-60-3P 76838-64-7P 76838-70-5P 76838-71-6P 76839-52-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and debenzoylation of)

RN 76838-12-5 CAPLUS

CN Benzamide, N-[[[2-(3-chlorophenoxy)phenyl]amino]thioxomethyl]- (9CI) (CA INDEX NAME)

G1 O,S

Structure attributes must be viewed using STN Express query preparation.

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